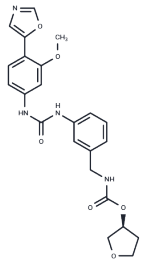
Data Sheet (Cat.No.T3444)

TargetMol

Merimepodib

Chemical Properties



CAS No. : 198821-22-6

Formula: C23H24N4O6

Molecular Weight: 452.46

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Merimepodib (VX-497) is a novel, noncompetitive inhibitor of inosine monophosphate dehydrogenase (IMPDH).
Targets(IC50)	Anti-infection,Antiviral,HCV Protease,Dehydrogenase,HBV
In vitro	Merimepodib has antiproliferative effect on lymphoid and keratinocyte cells. Merimepodib (MW 452.5) is orally bioavailable and inhibits the proliferation of primary human, mouse, rat, and dog lymphocytes at concentrations of approximately 100 nM. The antiproliferative effect of Merimepodib in cells is reversed within 48 h of its removal [1]. Merimepodib has intermediate antiviral activity against a second group of viruses, which includes HSV-1, parainfluenza-3 virus, BVDV, VEEV, and dengue virus, with IC50s ranging from 6 to 19 μM. Merimepodib is 100-fold more potent, with an IC50 of 380 nM and a corresponding CC50 of 5.2 μM, for a therapeutic index of 14. The antiviral activity of Merimepodib in HepG2.2.2.15 cells is reversed threefold by the addition of guanosine [2].
In vivo	Oral administration of Merimepodib inhibits the primary IgM antibody response in a dose-dependent manner, with an ED50 value of appr 30-35 mg/kg in mice. Single daily dosing of Merimepodib is shown to be as effective as twice-daily dosing in this model of immune activation[1]. GVHD developed in the vehicle-treated allografted F1 mice and treatment with Merimepodib improved all manifestations of the disease significantly. The 2.9-fold increase in spleen weight in allografted animals is reduced to a 1.6-fold increase in the Merimepodib-treated mice. Serum IFN-gamma levels are increased 54-fold in the vehicle group while there is a 7.4-fold increase in Merimepodib-treated animals[3].
Cell Research	The murine fibroblast L929 cell line is cultured in Eagle minimal essential medium supplemented with 10% fetal bovine serum, nonessential amino acids, 50 U of penicillin per mL, 50 μg of streptomycin per mL, and 2 mM?l-glutamine. EMCV is infected at 500 PFU/107?L929 cells. Cells are left untreated or are treated with different concentrations of murine IFN-α alone, VX-497 alone, or combinations thereof.

Solubility Information

Solubility	DMSO: 235 mg/mL (519.38 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (4.42 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.2101 mL	11.0507 mL	22.1014 mL
5 mM	0.442 mL	2.2101 mL	4.4203 mL
10 mM	0.221 mL	1.1051 mL	2.2101 mL
50 mM	0.0442 mL	0.221 mL	0.442 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Jain J, et al. VX-497: a novel, selective IMPDH inhibitor and immunosuppressive agent. J Pharm Sci. 2001 May;90(5): 625-37.

Markland W, et al. Broad-spectrum antiviral activity of the IMP dehydrogenase inhibitor VX-497: a comparison with ribavirin and demonstration of antiviral additivity with alpha interferon. Antimicrob Agents Chemother. 2000 Apr; 44(4):859-66.

Decker CJ, et al. The novel IMPDH inhibitor VX-497 prolongs skin graft survival and improves graft versus host disease in mice. Drugs Exp Clin Res. 2001;27(3):89-95.

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